

CLAIMS

1. An amide of a bile acid/salt, wherein the group bound to the bile acid/salt by the amide bond is a peptide of formula (I):



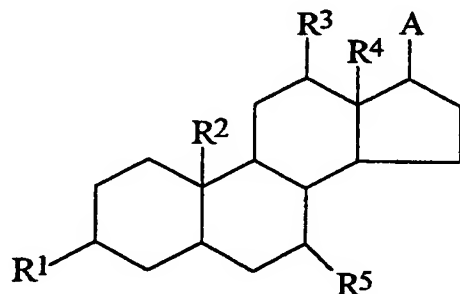
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wherein X is at least one peptide chain of at least 4 amino acids in length which may be linear, branched or comprise two or more cross-linked polypeptide chains; and Y is OH, NH₂, or a C₁-C₆, ester group bonded to the terminal carboxy of the polypeptide chain.

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2. An amide of a bile acid/salt of formula (II):

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(II)

wherein R¹ to R⁵ are independently selected from OH, H or C₁₋₆ alkyl; and

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A is -R⁶-CO-X-Y

wherein R⁶ is C₂ to C₆ branched or linear alkylene; X is at least one peptide chain of at least 4 amino acids in length which may be linear, branched or comprise two or more cross-linked polypeptide chains; and Y is OH, NH₂, or a C₁-C₆ ester group bonded to the terminal carboxy of the polypeptide chain.

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3. The amide according to either of claims 1 or 2 wherein the peptide is from 4 to 600 amino acids long.

4. The amide according to claim 3 wherein the peptide is from 4 to 200 amino acids long.

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5. The amide according to any preceding claim wherein the bile salt is mono-, di- or tri-hydroxylated.

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6. The amide according to any preceding claim wherein the bile salt contains a 3 α -hydroxyl group.

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7. The amide according to any previous claim wherein the bile salt is an amphiphilic polyhydric sterol bearing carboxyl groups as part of the primary side chain.

8. The amide according to any previous claim wherein the bile salt is underivatized or derivatized.

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9. The amide according to claim 8 wherein the underivatized bile salt is selected from cholate, deoxycholate, chenodeoxycholate and ursodeoxycholate.

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10. The amide according to claim 9 wherein the bile salt is cholate.

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11. An amide according to claim 8 wherein the derivatized bile salt is selected from taurocholate, taurodeoxycholate, tauroursodeoxycholate, taurochenodeoxycholate, glycholate, glycodeoxycholate, glycoursodeoxycholate, glycochenodeoxycholate, tauroolithocholate and glycolithocholate.

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12. An amide according to any previous claim wherein the peptide is selected from insulin, secretin, gastrin, gastrin releasing peptide, glucagon, cholecystokinin (CCK) gastric inhibitory peptide (also known as glucose

insulinotropic peptide (GIP)), parathyroid hormone, thyrotropin-releasing hormone, gonadotropin-releasing hormone (also known as lutenizing hormone releasing hormone (LHRH)), corticotropin-releasing hormone, somatostatin, adrenocorticotrophic hormone (ACTH), renin, angiotensin I, angiotensin II, atrial natriuretic hormone (ANH), somatomedins, calcitonin, haemoglobin, cytochrome C, horseradish peroxidase, aprotinin, mushroom tyrosinase, erythropoietin, somatotropin (growth hormone), growth hormone releasing hormone, galanin, urokinase, Factor IX (also known as Christmas factor), tissue plasminogen activator, antibodies superoxide dismutase, catalase, peroxidase, ferritin, interferon, Factor VIII, soy bean trypsin inhibitor, GLP1, blood coagulation factors, somatostatin, antidiuretic hormone (ADH), oxytocin, polysaccharides, hirudin, and glycoproteins, such as follicle stimulating hormone (FSH), lutenizing hormone (LH) inhibin, chorionic gonadotropin (CGT) and thyroid stimulating hormone (TSH), and analogues and fragments of all these, or mixtures of one or more of these.

13. An amide according to claim 12 wherein the somatomedins are selected from IGF1 and IGF2.

14. An amide according to claim 12 wherein the antibodies are selected from IgG, IgM, IgA, IgD, IgE.

15. A pharmaceutical formulation, comprising an amide according to any preceding claim and a pharmaceutically acceptable carrier.

16. A pharmaceutical formulation according to claim 15 wherein the formulation is formulated to be administered orally.

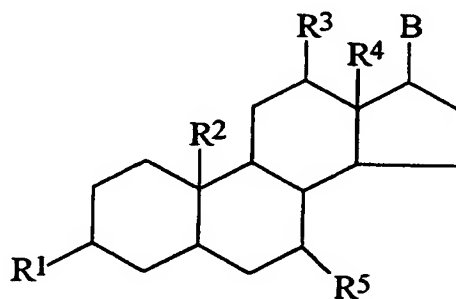
17. A pharmaceutical formulation according to claim 16 wherein said formulation is encapsulated to prevent formulation degradation in the stomach.

18. An amide according to any one of claims 1-14 or a physiologically functional derivative thereof, for use in therapy.

19. A method for the preparation of a pharmaceutical formulation comprising bringing into association an amide according to any one of claims 1-14 and a pharmaceutically acceptable carrier therefor.

20. Use of an amide according to any one of claims 1-14 in the manufacture of a medicament in a form suitable for oral administration.

21. Use of a compound according to Formula (III):



(III)

wherein R¹ to R⁵ are independently selected from OH, H or C₁₋₆ alkyl; and

B is -R⁶-CO-Z

wherein R⁶ is C₂ to C₆ branched or linear alkylene; and Z is a pharmaceutically active agent;

in the manufacture of a medicament suitable for parenteral administration.

22. Use according to claim 21 wherein Z is bound to the bile acid/salt by an amide linkage.

23. Use according to claim 21 or claim 22 wherein said pharmaceutical agent is selected from polypeptides and glycoproteins, polysaccharides, oligonucleotides/polynucleotides, anaesthetics, anxiolytics, hypnotics, neuroleptics, anti-depressants, anti-epileptics, anti-Parkinsonian drugs, opioid analgesics, neuropeptide transmitters, neuropeptide transmitter antagonists, muscarinic agonists, anti-cholinesterases, muscarinic antagonists, nicotinic antagonists, direct sympathomimetics, indirect sympathomimetics, adrenergic blocking drugs, adrenoceptor antagonists, vasodilators, anti-angina drugs, cardiotonic drugs, anti-dysrhythmic drugs, anti-coagulants, plasma lipid lowering drugs, anti-anaemia drugs, anti-inflammatory drugs, diuretics, histamine antagonists, anti-peptic ulcer drugs, anti-gut motility disorder drugs, chemotherapy drugs, anti-bacterial drugs, anti-viral drugs, anti-fungal drugs and anti-parasite drugs.